

6237.NCP DV1

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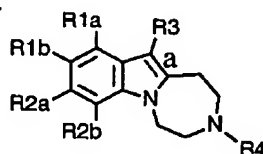
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Please delete the abstract as originally filed on pages 329-331.

Please replace with the following:

# 5 ABSTRACT OF THE DISCLOSURE

A compound of formula I:



I

where a is a single bond or double bond, and where

10

R1a, R1b, R2a and R2b are each independently

(a) H, Cl, Br, I, F, CN, CF<sub>3</sub>, OCF<sub>3</sub>, OR<sub>5</sub>, CONR<sub>5</sub>R<sub>6</sub>, COR<sub>5</sub>, CO<sub>2</sub>R<sub>5</sub>, Y(CH<sub>2</sub>)<sub>m</sub>XR<sub>5</sub> or YC(O)(CH<sub>2</sub>)<sub>m</sub>XR<sub>5</sub>, where m = 0-3, Y = CH<sub>2</sub>, S, O, or NR<sub>6</sub>, X = CH<sub>2</sub>, S, O, NR<sub>6</sub>;

15

(b) (CH<sub>2</sub>)<sub>p</sub>Ar where p = 0-3 and Ar is aryl or heteroaryl optionally substituted with one or more of the following: H, halogen, CN, NO<sub>2</sub>, OR<sub>7</sub>, CF<sub>3</sub>, OCF<sub>3</sub>, SR<sub>7</sub>, SO<sub>2</sub>R<sub>7</sub>, SO<sub>2</sub>NR<sub>7</sub>R<sub>8</sub>, NR<sub>7</sub>R<sub>8</sub>, CONR<sub>7</sub>R<sub>8</sub>, NR<sub>7</sub>COR<sub>8</sub>, NR<sub>7</sub>CONR<sub>8</sub>R<sub>9</sub>, CO<sub>2</sub>R<sub>7</sub>, COR<sub>7</sub>, or R<sub>7</sub>; or

20

(c) linear or branched C<sub>1</sub>-C<sub>8</sub> alkyl, linear or branched C<sub>2</sub>-C<sub>8</sub> alkenyl, linear or branched C<sub>2</sub>-C<sub>8</sub> alkynyl, C<sub>3</sub>-C<sub>8</sub> cycloalkyl, C<sub>2</sub>-C<sub>8</sub> cycloalkenyl, or C<sub>3</sub>-C<sub>8</sub> cycloalkynyl; wherein any of these groups may be optionally substituted with one or more of the following: halogen, CN, NO<sub>2</sub>, COR<sub>7</sub>, OR<sub>7</sub>, NR<sub>7</sub>R<sub>8</sub>, SR<sub>7</sub>, CO<sub>2</sub>R<sub>7</sub>, CONR<sub>7</sub>R<sub>8</sub> or NR<sub>7</sub>COR<sub>8</sub>; and where

25

R<sub>3</sub> is

(a) H, Cl, Br, I, F, CN, CF<sub>3</sub>, OCF<sub>3</sub>, alkyl, Ar, OR<sub>5</sub>, SR<sub>5</sub>, CHO, CONR<sub>5</sub>R<sub>6</sub>, COR<sub>5</sub>,

CO<sub>2</sub>R<sub>5</sub>, Y(CH<sub>2</sub>)<sub>m</sub>XR<sub>5</sub>, YC(O)(CH<sub>2</sub>)<sub>m</sub>XR<sub>5</sub>, (CH<sub>2</sub>)<sub>p</sub>Ar, C(O)YR<sub>5</sub>, C(O)Y(CH<sub>2</sub>)<sub>m</sub>XR<sub>5</sub>,

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(Y)<sub>o</sub>(CH<sub>2</sub>)<sub>n</sub>N(R6)C(O)R5, (Y)<sub>o</sub>(CH<sub>2</sub>)<sub>n</sub>N(R6)S(O)<sub>2</sub>R5, (Y)<sub>o</sub>(CH<sub>2</sub>)<sub>n</sub>N(R6)C(O)OR5,  
 (Y)<sub>o</sub>(CH<sub>2</sub>)<sub>n</sub>N(R6)C(O)NR5R6 where o = 0 or 1, n = 0-3, X = CH<sub>2</sub>, S, O, or NR6 and  
 Y = CH<sub>2</sub>, S, O or NR6, where Ar is aryl or heteroaryl optionally substituted with one or  
 more of the following: H, halogen, CN, NO<sub>2</sub>, OR7, CF<sub>3</sub>, OCF<sub>3</sub>, SR7, SO<sub>2</sub>R7,  
 5 SO<sub>2</sub>NR7R8, NR7R8, CONR7R8, NR7COR8, NR7CONR8R9, CO<sub>2</sub>R7, COR7, or R7;  
 or

(b) linear or branched C<sub>1</sub>-C<sub>8</sub> alkyl, linear or branched C<sub>2</sub>-C<sub>8</sub> alkenyl, linear or  
 branched C<sub>2</sub>-C<sub>8</sub> alkynyl, C<sub>3</sub>-C<sub>8</sub> cycloalkyl, C<sub>3</sub>-C<sub>8</sub> cycloalkenyl, or C<sub>3</sub>-C<sub>8</sub> cycloalkynyl;  
 10 wherein any of these groups may be optionally substituted with one or more of the  
 following: halogen, CN, NO<sub>2</sub>, COR10, OR10, NR10R8, SR10, CO<sub>2</sub>R10, CONR10R8  
 or NR10COR8; and where

R4, R5 and R6 are each independently

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(a) H, linear or branched C<sub>1</sub>-C<sub>8</sub> alkyl, linear or branched C<sub>2</sub>-C<sub>8</sub> alkenyl, linear or  
 branched C<sub>2</sub>-C<sub>8</sub> alkynyl, C<sub>3</sub>-C<sub>8</sub> cycloalkyl, C<sub>3</sub>-C<sub>8</sub> cycloalkenyl, or C<sub>3</sub>-C<sub>8</sub> cycloalkynyl;  
 wherein any of these groups other than H may be optionally substituted with one or  
 more of the following: halogen, CN, NO<sub>2</sub>, COR10, OR10, NR10R11, SR10, CO<sub>2</sub>R10,  
 20 CONR10R11 or NR10COR11; or where R5 and R6 are linked to form a 3 to 8  
 member ring; or

(b) (CH<sub>2</sub>)<sub>p</sub>Ar where p = 0-3 and Ar is aryl or heteroaryl optionally substituted with one  
 or more of the following: H, halogen, CN, NO<sub>2</sub>, OR7, CF<sub>3</sub>, OCF<sub>3</sub>, SR7, SO<sub>2</sub>R7,  
 25 SO<sub>2</sub>NR7R8, NR7R8, CONR7R8, NR7COR8, NR7CONR8R9, CO<sub>2</sub>R7, COR7, or R7;  
 and where

R7, R8, and R9 are each independently

30 (a) H, linear or branched C<sub>1</sub>-C<sub>8</sub> alkyl, linear or branched C<sub>2</sub>-C<sub>8</sub> alkenyl, linear or  
 branched C<sub>2</sub>-C<sub>8</sub> alkynyl, C<sub>3</sub>-C<sub>8</sub> cycloalkyl, C<sub>3</sub>-C<sub>8</sub> cycloalkenyl, or C<sub>3</sub>-C<sub>8</sub> cycloalkynyl  
 groups, wherein any of these groups other than H may be optionally substituted with  
 halogen, CN, NO<sub>2</sub>, COR10, OR10, NR10R11, SR10, CO<sub>2</sub>R10, CONR10R11,

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NR10COR11, NR10CONR11R12, or where R7, R8, or R9 are linked to form a ring;

or

(b)  $(CH_2)_p$ Ar where  $p = 0-3$  and Ar is aryl or heteroaryl optionally substituted with  
5 one or more of the following: H, halogen, CN, NO<sub>2</sub>, OR10, CF<sub>3</sub>, OCF<sub>3</sub>, SR10,  
SO<sub>2</sub>R10, SO<sub>2</sub>NR10R11, NR10R11, CONR10R11, NR10COR11, NR10CONR11R12,  
CO<sub>2</sub>R10, COR10, or R10; and where

10 R10, R11 and R12 are each independently H, linear or branched C<sub>1</sub>-C<sub>8</sub> alkyl, linear or  
branched C<sub>3</sub>-C<sub>8</sub> alkenyl, linear or branched C<sub>2</sub>-C<sub>8</sub> alkynyl, C<sub>3</sub>-C<sub>8</sub> cycloalkyl, C<sub>3</sub>-C<sub>8</sub>  
cycloalkenyl, or C<sub>3</sub>-C<sub>8</sub> cycloalkynyl;

~~or a stereoisomer or pharmaceutically acceptable salt thereof.~~

15 wherein a, R1a, R1b, R2a, R2b, R3, R4, R5, R6, R7, R8, R9, R10, R11, and R12 each  
have any of the definitions provided herein. The present invention provides novel  
diazepinoindole compounds of Formula I. These compounds are 5-HT ligands and  
are useful for treating diseases wherein modulation of 5-HT activity is desired.

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